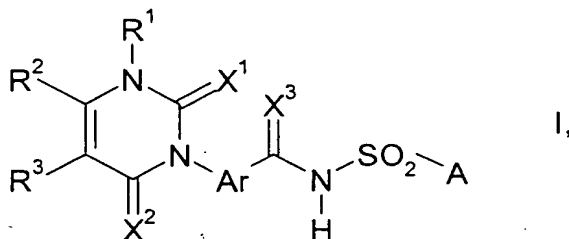


We claim:

1. A process for preparing 3-phenyl(thio)uracils or 3-phenyldithiouracils of the formula I

5



where the variables are each defined as follows:

- 10  $R^1$  is hydrogen, cyano, amino,  $C_1$ - $C_6$ -alkyl,  $C_1$ - $C_3$ -cyanoalkyl,  $C_1$ - $C_6$ -haloalkyl,  $C_1$ - $C_6$ -haloalkoxy,  $C_3$ - $C_7$ -cycloalkyl,  $C_2$ - $C_6$ -alkenyl,  $C_2$ - $C_6$ -haloalkenyl,  $C_3$ - $C_6$ -alkynyl,  $C_3$ - $C_6$ -haloalkynyl or phenyl- $C_1$ - $C_4$ -alkyl;

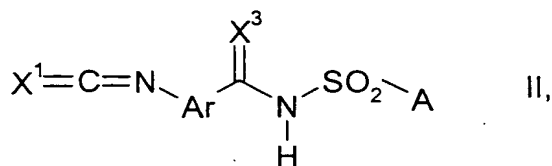
- 15  $R^2$  and  $R^3$  are each independently hydrogen,  $C_1$ - $C_6$ -alkyl,  $C_1$ - $C_6$ -haloalkyl,  $C_3$ - $C_7$ -cycloalkyl,  $C_2$ - $C_6$ -alkenyl,  $C_2$ - $C_6$ -haloalkenyl,  $C_3$ - $C_6$ -alkynyl or  $C_3$ - $C_6$ -haloalkynyl;

$X^1$ ,  $X^2$  and  $X^3$  are each independently oxygen or sulfur;

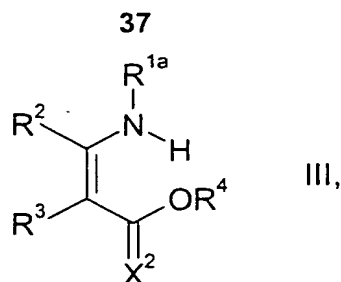
- 20 Ar is phenyl, which may be mono- or polysubstituted by the following groups: hydrogen, halogen, cyano,  $C_1$ - $C_4$ -alkyl or  $C_1$ - $C_4$ -haloalkyl; and

A is a radical derived from a primary or secondary amine or  $NH_2$ ;

- 25 comprising the reaction of a phenyl iso(thio)cyanate of the formula II



- 30 where the variables  $X^1$ ,  $X^3$ , Ar and A are each as defined above, with an enamine of the general formula III



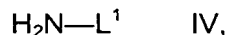
where

$R^{1a}$  is as defined above for  $R^1$  with the exception of amino;

$R^2$ ,  $R^3$  and  $X^2$  are each as defined above; and

$R^4$  is  $C_1$ - $C_6$ -alkyl,  $C_1$ - $C_6$ -haloalkyl,  $C_1$ - $C_3$ -alkoxy- $C_1$ - $C_3$ -alkyl,  $C_1$ - $C_3$ -alkylthio- $C_1$ - $C_3$ -alkyl,  $C_2$ - $C_6$ -alkenyl,  $C_2$ - $C_6$ -haloalkenyl,  $C_3$ - $C_6$ -alkynyl,  $C_3$ - $C_6$ -haloalkynyl,  $C_3$ - $C_7$ -cycloalkyl,  $C_1$ - $C_6$ -cyanoalkyl or benzyl which is itself unsubstituted or substituted on the phenyl ring by methyl, methoxy, methylthio, halogen, nitro or cyano;

and, if appropriate, in a further step, the reaction of the resulting 3-phenyl(thio)uracil or 3-phenyldithiouracil of the formula I where  $R^1=R^{1a}$ , where  $R^1$  is hydrogen, with an aminating agent of the formula IV



where  $L^1$  is a nucleophilic leaving group

to give 3-phenyl(thio)uracils or 3-phenyldithiouracils of the formula I where  $R^1 =$  amino.

2. The process according to claim 1, wherein the reaction is effected in the presence of a base which is selected from alkali metal and alkaline earth metal carbonates, alkali metal and alkaline earth metal alkoxides, alkali metal and alkaline earth metal hydrides and tertiary amines.
3. The process according to either of the preceding claims, wherein the reaction is effected in at least one aprotic polar solvent, and the aprotic polar solvent has a water content of from 0 to 0.5% by weight, based on the total amount of compound II, compound III and solvent.
4. The process according to claim 3, wherein the solvent comprises at least 50% by volume of an aprotic polar solvent selected from carboxamides, carboxylic esters,

carbonates, nitriles and sulfoxides.

5. The process according to claim 4, wherein the solvent comprises at least 80% by weight of an aprotic polar solvent.

5

6. The process according to any of the preceding claims, wherein from 0.9 to 1.3 mol of the enamine of the formula III are used per mole of the compound II.

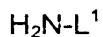
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7. The process according to any of the preceding claims, wherein from 0.9 to 3 base equivalents are used per mole of the compound II.

8. The process according to any of the preceding claims, wherein a 3-phenyl(thio)-uracil or a 3-phenyldithiouracil, where  $R^1$  is hydrogen, is prepared and this compound I is subsequently

15

(A) reacted with an aminating agent of the formula IV



IV

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where  $L^1$  is a nucleophilically displaceable leaving group to obtain a compound of the formula I where

$R^1$  is amino; and

the variables  $R^2$ ,  $R^3$ ,  $X^1$ ,  $X^2$ ,  $X^3$ , Ar and A are each as defined above; or

25

(B) reacted with an alkylating agent of the formula V



V

30

where

$R^{1b}$  is  $C_1$ - $C_6$ -alkyl,  $C_1$ - $C_6$ -haloalkyl,  $C_3$ - $C_7$ -cycloalkyl,  $C_2$ - $C_6$ -alkenyl,  $C_2$ - $C_6$ -haloalkenyl,  $C_3$ - $C_6$ -alkynyl or  $C_3$ - $C_6$ -haloalkynyl; and

$L^2$  is a nucleophilically displaceable leaving group;

to obtain a compound of the general formula I where

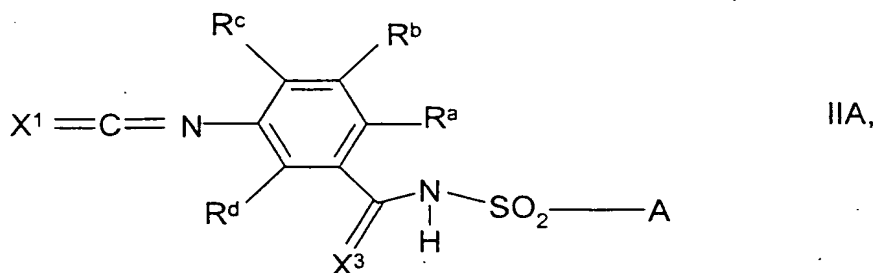
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$R^1$  is as defined for  $R^{1b}$ ; and

the variables  $R^2$ ,  $R^3$ ,  $X^1$ ,  $X^2$ ,  $X^3$ , Ar and A are each as defined above.

9. The process according to any of the preceding claims, wherein the phenyl iso(thio)cyanate of the formula II is described by the formula IIA

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where

$X^1$ ,  $X^3$  and A are each as defined above and

- 5  $R^a$ ,  $R^b$ ,  $R^c$  and  $R^d$  are each independently  
hydrogen, halogen, cyano,  $C_1$ - $C_4$ -alkyl or  $C_1$ - $C_4$ -haloalkyl.

10. The process according to claim 9, wherein, in formula IIA,  
 $R^a$  is halogen, cyano or trifluoromethyl;  
10  $R^c$  is hydrogen or halogen; and  
 $R^b$  and  $R^d$  are each hydrogen.
11. The process according to any of the preceding claims, wherein the A radical is  
- $NR^5R^6$  where the variables  $R^5$  and  $R^6$  are each defined as follows:  
15  $R^5$  and  $R^6$  are each independently  
hydrogen,  $C_1$ - $C_{10}$ -alkyl,  $C_2$ - $C_{10}$ -alkenyl or  $C_2$ - $C_{10}$ -alkynyl, each of which may  
be unsubstituted or substituted by one of the following radicals:  
 $C_1$ - $C_4$ -alkoxy,  $C_1$ - $C_4$ -alkylthio, CN,  $NO_2$ , formyl,  $C_1$ - $C_4$ -alkylcarbonyl,  
 $C_1$ - $C_4$ -alkoxycarbonyl,  $C_1$ - $C_4$ -alkylaminocarbonyl,  $C_1$ - $C_4$ -  
20 dialkylaminocarbonyl,  $C_1$ - $C_4$ -alkylsulfinyl,  $C_1$ - $C_4$ -alkylsulfonyl,  $C_3$ - $C_{10}$ -  
cycloalkyl, 3- to 8-membered heterocyclyl having from one to three  
heteroatoms selected from O, S, N and an  $NR^7$  group  
where  $R^7$  is hydrogen,  $C_1$ - $C_6$ -alkyl,  $C_3$ - $C_6$ -alkenyl or  $C_3$ - $C_6$ -alkynyl,  
phenyl which may itself have 1, 2, 3 or 4 substituents selected from  
25 halogen,  $C_1$ - $C_4$ -alkyl,  $C_1$ - $C_4$ -alkoxy,  $C_1$ - $C_4$ -fluoroalkyl,  
 $C_1$ - $C_4$ -alkyloxycarbonyl, trifluoromethylsulfonyl,  $C_1$ - $C_3$ -alkylamino,  
 $C_1$ - $C_3$ -dialkylamino, formyl, nitro or cyano;  
 $C_1$ - $C_{10}$ -haloalkyl,  $C_2$ - $C_{10}$ -haloalkenyl,  $C_2$ - $C_{10}$ -haloalkynyl,  $C_3$ - $C_8$ -cycloalkyl,  $C_3$ - $C_{10}$ -  
cycloalkenyl, 3- to 8-membered heterocyclyl having from one to three  
30 heteroatoms selected from O, S, N and an  $NR^7$  group where  $R^7$  is hydrogen,  
 $C_1$ - $C_6$ -alkyl,  $C_3$ - $C_6$ -alkenyl or  $C_3$ - $C_6$ -alkynyl,  
phenyl or naphthyl,  
where  $C_3$ - $C_8$ -cycloalkyl,  $C_3$ - $C_{10}$ -cycloalkenyl, 3- to 8-membered heterocyclyl,  
phenyl or naphthyl, each of which may themselves have 1, 2, 3 or 4

substituents selected from halogen, C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>1</sub>-C<sub>4</sub>-alkoxy, C<sub>1</sub>-C<sub>4</sub>-fluoroalkyl,  
C<sub>1</sub>-C<sub>4</sub>-alkyloxycarbonyl, trifluoromethylsulfonyl, formyl, C<sub>1</sub>-C<sub>3</sub>-alkylamino,  
C<sub>1</sub>-C<sub>3</sub>-dialkylamino, phenoxy, nitro or cyano; or

5

R<sup>5</sup> and R<sup>6</sup> together form a saturated or partially unsaturated 5- to 8-membered nitrogen heterocycle which may have, as ring members, one or two carbonyl groups, thiocarbonyl groups and/or one or two further heteroatoms selected from O, S, N and an NR<sup>7</sup> group

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where R<sup>7</sup> is hydrogen, C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>3</sub>-C<sub>6</sub>-alkenyl or C<sub>3</sub>-C<sub>6</sub>-alkynyl, and which may be substituted  
by C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>1</sub>-C<sub>4</sub>-alkoxy and/or C<sub>1</sub>-C<sub>4</sub>-haloalkyl

15

12. The process according to claim 11, wherein R<sup>5</sup> and R<sup>6</sup> are each defined as follows:

R<sup>5</sup> and R<sup>6</sup> are each independently

hydrogen, C<sub>1</sub>-C<sub>6</sub>-alkyl which may if appropriate carry a substituent selected from the group consisting of halogen, cyano, C<sub>1</sub>-C<sub>4</sub>-alkoxy, C<sub>1</sub>-C<sub>4</sub>-alkyloxycarbonyl, C<sub>1</sub>-C<sub>4</sub>-alkylthio, C<sub>3</sub>-C<sub>8</sub>-cycloalkyl, furyl, thienyl, 1,3-dioxolanyl and phenyl

which may itself optionally be substituted by halogen or C<sub>1</sub>-C<sub>4</sub>-alkoxy;

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C<sub>2</sub>-C<sub>6</sub>-alkenyl, C<sub>2</sub>-C<sub>6</sub>-alkynyl, C<sub>3</sub>-C<sub>8</sub>-cycloalkyl or phenyl

which may if appropriate carry 1 or 2 substituents selected from the group consisting of halogen, C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>1</sub>-C<sub>4</sub>-fluoroalkyl, C<sub>1</sub>-C<sub>4</sub>-alkoxy, C<sub>1</sub>-C<sub>4</sub>-alkyloxycarbonyl, nitro and C<sub>1</sub>-C<sub>3</sub>-dialkylamino;

naphthyl or pyridyl; or

25

R<sup>5</sup> and R<sup>6</sup> together form a five-, six- or seven-membered saturated or unsaturated nitrogen heterocycle which may contain, as a ring member, one further heteroatom selected from N, O and an NR<sup>7</sup> group

where R<sup>7</sup> is hydrogen, C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>3</sub>-C<sub>6</sub>-alkenyl or C<sub>3</sub>-C<sub>6</sub>-alkynyl,

and/or may be substituted by one, two or three substituents selected from C<sub>1</sub>-C<sub>4</sub>-alkyl and C<sub>1</sub>-C<sub>4</sub>-haloalkyl.

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13. The process according to any of the preceding claims, wherein X<sup>1</sup>, X<sup>2</sup> and X<sup>3</sup> are each oxygen.

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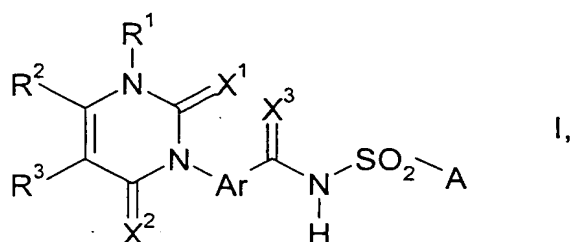
14. The process according to any of the preceding claims, wherein R<sup>1</sup> is hydrogen, amino or C<sub>1</sub>-C<sub>4</sub>-alkyl.

15. The process according to any of the preceding claims, wherein R<sup>2</sup> is hydrogen, C<sub>1</sub>-C<sub>4</sub>-alkyl or C<sub>1</sub>-C<sub>4</sub>-haloalkyl.

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16. The process according to any of the preceding claims, wherein R<sup>3</sup> is hydrogen.

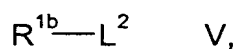
17. A process for preparing 3-phenyl(thio)uracils or 3-phenyldithiouracils of the formula I



where

- 10  $R^1$  is  $C_1$ - $C_6$ -alkyl,  $C_1$ - $C_6$ -haloalkyl,  $C_3$ - $C_7$ -cycloalkyl,  $C_2$ - $C_6$ -alkenyl,  $C_2$ - $C_6$ -haloalkenyl,  $C_3$ - $C_6$ -alkynyl or  $C_3$ - $C_6$ -haloalkynyl;  
 $R^2$  and  $R^3$  are each independently  
hydrogen,  $C_1$ - $C_6$ -alkyl,  $C_1$ - $C_6$ -haloalkyl,  $C_3$ - $C_7$ -cycloalkyl,  $C_2$ - $C_6$ -alkenyl,  
 $C_2$ - $C_6$ -haloalkenyl,  $C_3$ - $C_6$ -alkynyl or  $C_3$ - $C_6$ -haloalkynyl;  
 $X^1$ ,  $X^2$  and  $X^3$  are each independently oxygen or sulfur;  
15 Ar is phenyl, which may be mono- or polysubstituted by the following groups:  
hydrogen, halogen, cyano,  $C_1$ - $C_4$ -alkyl or  $C_1$ - $C_4$ -haloalkyl; and  
A is a radical derived from a primary or secondary amine or  $NH_2$ ,  
wherein 3-phenyl(thio)uracils or 3-phenyldithiouracils of the formula I, where  $R^1$  is  
hydrogen, are reacted with an alkylating agent of the formula V

20



where  $L^2$  is a nucleophilically displaceable leaving group, and

25

- $R^{1b}$  is  $C_1$ - $C_6$ -alkyl,  $C_1$ - $C_6$ -haloalkyl,  $C_3$ - $C_7$ -cycloalkyl,  $C_2$ - $C_6$ -alkenyl,  $C_2$ - $C_6$ -haloalkenyl,  $C_3$ - $C_6$ -alkynyl or  $C_3$ - $C_6$ -haloalkynyl.